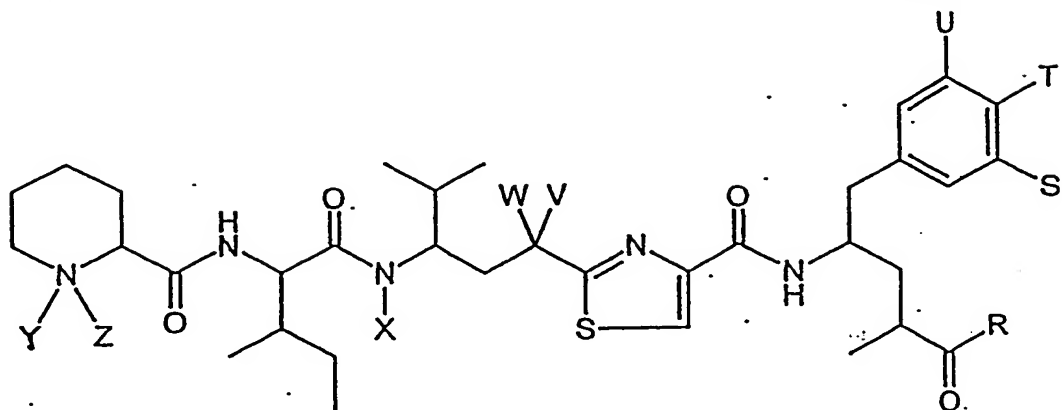


Abstract

The invention relates to a compound of the following general formula (tubulysin)



having the following meanings for R, R¹, R², R³, R⁴, R⁵, R⁶, R⁷, R⁸, R⁹, R¹⁰, R¹¹, S, T, U, V, W, X, Y and Z:

R = H, C₁₋₄alkyl, aryl, OR¹, NR¹R² or NH-(CH₂)₂₋₄

R¹ = H, C₁₋₆alkyl or aryl

R² = H, C₁₋₆alkyl or aryl

S = H, Hal, NO₂ or NHR³

U = H, Hal, NO₂ or NHR³

R³ = H, HCO or C₁₋₄alkyl-CO

T = H or OR⁴

R⁴ = H, C₁₋₄alkyl, aryl, COR⁵, P(O)(OR⁶)₂ or SO₃R⁶

R⁵ = C₁₋₆alkyl, alkenyl, aryl or heteroaryl

R⁶ = H, alkyl or a metal ion

V = H, OR⁷, Hal or (for W = O) O

R⁷ = H, C₁₋₄alkyl or COR⁸

R⁸ = C₁₋₄alkyl, alkenyl or aryl

W = H or C₁₋₄alkyl or (for V = O) O

X = H, C₁₋₄alkyl, alkenyl or CH₂OR⁹

R⁹ = H, C₁₋₄alkyl, alkenyl, aryl or COR¹⁰

R¹⁰ = C₁₋₆alkyl, alkenyl, aryl or heteroaryl

Y = (for Z = CH₃ or COR¹¹) free electron pair or (for Z = CH₃) O

R¹¹ = C₁₋₄alkyl, CF₃ or aryl and/or

Z = (for Y = O or free electron pair) CH₃ or (for Y = free electron pair) COR¹¹.